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\* \* \* \* \* Welcome to STN International \* \* \* \* \*

NEWS	1		Web Page for STN Seminar Schedule - N. America
NEWS	2	AUG 06	CAS REGISTRY enhanced with new experimental property tags
NEWS	3	AUG 06	FSTA enhanced with new thesaurus edition
NEWS	4	AUG 13	CA/CAPplus enhanced with additional kind codes for granted patents
NEWS	5	AUG 20	CA/CAPplus enhanced with CAS indexing in pre-1907 records
NEWS	6	AUG 27	Full-text patent databases enhanced with predefined patent family display formats from INPADOCDB
NEWS	7	AUG 27	USPATOLD now available on STN
NEWS	8	AUG 28	CAS REGISTRY enhanced with additional experimental spectral property data
NEWS	9	SEP 07	STN AnaVist, Version 2.0, now available with Derwent World Patents Index
NEWS	10	SEP 13	FORIS renamed to SOFIS
NEWS	11	SEP 13	INPADOCDB enhanced with monthly SDI frequency
NEWS	12	SEP 17	CA/CAPplus enhanced with printed CA page images from 1967-1998
NEWS	13	SEP 17	CAPplus coverage extended to include traditional medicine patents
NEWS	14	SEP 24	EMBASE, EMBAL, and LEMBASE reloaded with enhancements
NEWS	15	OCT 02	CA/CAPplus enhanced with pre-1907 records from Chemisches Zentralblatt
NEWS	16	OCT 19	BEILSTEIN updated with new compounds
NEWS	17	NOV 15	Derwent Indian patent publication number format enhanced
NEWS	18	NOV 19	WPIX enhanced with XML display format
NEWS	19	NOV 30	ICSD reloaded with enhancements
NEWS	20	DEC 04	LINPADOCDB now available on STN
NEWS	21	DEC 14	BEILSTEIN pricing structure to change
NEWS	22	DEC 17	USPATOLD added to additional database clusters
NEWS	23	DEC 17	IMSDRUGCONF removed from database clusters and STN
NEWS	24	DEC 17	DGENE now includes more than 10 million sequences
NEWS	25	DEC 17	TOXCENTER enhanced with 2008 MeSH vocabulary in MEDLINE segment
NEWS	26	DEC 17	MEDLINE and LMEDLINE updated with 2008 MeSH vocabulary
NEWS	27	DEC 17	CA/CAPplus enhanced with new custom IPC display formats
NEWS	28	DEC 17	STN Viewer enhanced with full-text patent content from USPATOLD
NEWS	29	JAN 02	STN pricing information for 2008 now available
NEWS	30	JAN 16	CAS patent coverage enhanced to include exemplified prophetic substances
NEWS	31	JAN 28	USPATFULL, USPAT2, and USPATOLD enhanced with new custom IPC display formats
NEWS	32	JAN 28	MARPAT searching enhanced
NEWS	33	JAN 28	USGENE now provides USPTO sequence data within 3 days of publication
NEWS	34	JAN 28	TOXCENTER enhanced with reloaded MEDLINE segment

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NEWS 35 JAN 28 MEDLINE and LMEDLINE reloaded with enhancements
NEWS 36 FEB 08 STN Express, Version 8.3, now available
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NEWS EXPRESS FEBRUARY 08 CURRENT WINDOWS VERSION IS V8.3,  
AND CURRENT DISCOVER FILE IS DATED 24 JANUARY 2008

NEWS HOURS	STN Operating Hours Plus Help Desk Availability
NEWS LOGIN	Welcome Banner and News Items
NEWS IPC8	For general information regarding STN implementation of IPC 8

Enter NEWS followed by the item number or name to see news on that specific topic.

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\* \* \* \* \* STN Columbus \* \* \* \* \*

FILE 'HOME' ENTERED AT 11:40:46 ON 13 FEB 2008

=> file reg		
COST IN U.S. DOLLARS	SINCE FILE	TOTAL
	ENTRY	SESSION
FULL ESTIMATED COST	0.63	0.63

FILE 'REGISTRY' ENTERED AT 11:42:36 ON 13 FEB 2008  
USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT.  
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Property values tagged with IC are from the ZIC/VINITI data file provided by InfoChem.

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STRUCTURE FILE UPDATES: 12 FEB 2008 HIGHEST RN 1003006-87-8
DICTIONARY FILE UPDATES: 12 FEB 2008 HIGHEST RN 1003006-87-8
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New CAS Information Use Policies, enter HELP USAGETERMS for details.

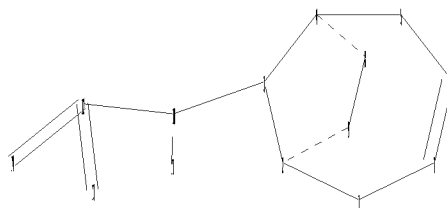
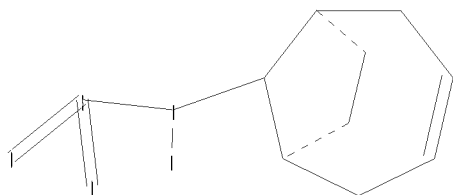
TSCA INFORMATION NOW CURRENT THROUGH June 29, 2007

Please note that search-term pricing does apply when conducting SmartSELECT searches.

REGISTRY includes numerically searchable data for experimental and predicted properties as well as tags indicating availability of experimental property data in the original document. For information on property searching in REGISTRY, refer to:

<http://www.cas.org/support/stngen/stndoc/properties.html>

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=>
Uploading C:\Program Files\Stnexp\Queries\10566486.str
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chain nodes :
10 11 12 13 14
ring nodes :
1 2 3 4 5 6 7 8 9
chain bonds :
3-10 10-11 10-12 12-13 12-14
ring bonds :
1-2 1-7 2-3 2-9 3-4 4-5 4-8 5-6 6-7 8-9
exact/norm bonds :
2-9 3-10 4-8 10-12 12-13 12-14
exact bonds :
1-2 1-7 2-3 3-4 4-5 5-6 6-7 8-9 10-11
isolated ring systems :
containing 1 :

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Match level :
1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:Atom 8:Atom 9:Atom 10:CLASS
11:CLASS 12:CLASS 13:CLASS 14:CLASS

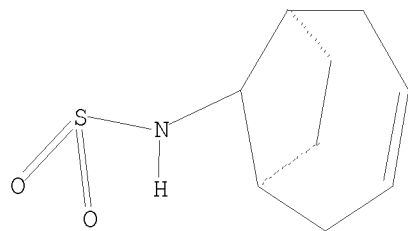
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L1 STRUCTURE UPLOADED

=> d l1

L1 HAS NO ANSWERS

L1 STR



Structure attributes must be viewed using STN Express query preparation.

=> s l1

SAMPLE SEARCH INITIATED 11:43:41 FILE 'REGISTRY'  
SAMPLE SCREEN SEARCH COMPLETED - 30 TO ITERATE

100.0% PROCESSED 30 ITERATIONS 0 ANSWERS  
SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS: ONLINE \*\*COMPLETE\*\*  
BATCH \*\*COMPLETE\*\*  
PROJECTED ITERATIONS: 272 TO 928

PROJECTED ANSWERS: 0 TO 0

L2 0 SEA SSS SAM L1

=> s l1 full

FULL SEARCH INITIATED 11:43:46 FILE 'REGISTRY'

FULL SCREEN SEARCH COMPLETED - 479 TO ITERATE

100.0% PROCESSED 479 ITERATIONS

13 ANSWERS

SEARCH TIME: 00.00.01

L3 13 SEA SSS FUL L1

=> file caplus

COST IN U.S. DOLLARS

SINCE FILE

TOTAL

ENTRY

SESSION

FULL ESTIMATED COST

178.82

179.45

FILE 'CAPLUS' ENTERED AT 11:43:53 ON 13 FEB 2008

USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT.

PLEASE SEE "HELP USAGETERMS" FOR DETAILS.

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FILE COVERS 1907 - 13 Feb 2008 VOL 148 ISS 7

FILE LAST UPDATED: 12 Feb 2008 (20080212/ED)

Effective October 17, 2005, revised CAS Information Use Policies apply. They are available for your review at:

<http://www.cas.org/infopolicy.html>

=> s l3 full

L4 4 L3

=> d ibib abs hitstr tot

L4 ANSWER 1 OF 4 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 2005:141037 CAPLUS

DOCUMENT NUMBER: 142:240436

TITLE: Preparation of spirobicyclononenethiadiazole dioxides and related compounds as  $\gamma$ -secretase inhibitors

INVENTOR(S): Bettati, Michela; Boase, Amanda Louise; Churcher, Ian; Ladduwahetty, Tamara; Merchant, Kevin John; Quddus, Abdul

PATENT ASSIGNEE(S): Merck Sharp & Dohme Limited, UK

SOURCE: PCT Int. Appl., 39 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

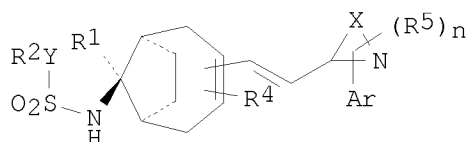
FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

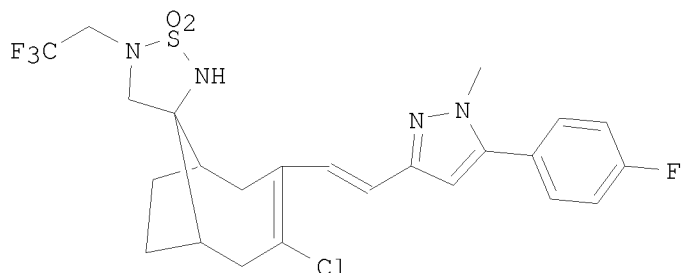
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2005014553	A1	20050217	WO 2004-GB3277	20040729
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
AU 2004263353	A1	20050217	AU 2004-263353	20040729
CA 2534057	A1	20050217	CA 2004-2534057	20040729
EP 1658272	A1	20060524	EP 2004-743604	20040729
EP 1658272	B1	20070725		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, CY, TR, BG, CZ, EE, HU, PL, SK				
CN 1832927	A	20060913	CN 2004-80022454	20040729
JP 2007501206	T	20070125	JP 2006-522390	20040729
AT 368031	T	20070815	AT 2004-743604	20040729
ES 2289537	T3	20080201	ES 2004-743604	20040729
IN 2006DN00193	A	20070810	IN 2006-DN193	20060110
US 2006189666	A1	20060824	US 2006-566486	20060130
PRIORITY APPLN. INFO.:			GB 2003-18447	A 20030805
			WO 2004-GB3277	W 20040729

OTHER SOURCE(S): CASREACT 142:240436; MARPAT 142:240436

GI



I



II

AB Title compds. [I; n = 0, 1; X = atoms to form a 5-6 membered heteroarom. ring; R5 = (halo-substituted) hydrocarbyl; Ar = (substituted) Ph, 6-membered heteroaryl; Y = bond, NR3; R1 = H; R1R3 = CH2; R2 = (halo-substituted) hydrocarbyl, (substituted) 5-6 membered heteroaryl; R2R3 = atoms to form a (substituted) heterocyclic ring of ≤6 members; R3 = H, alkyl; R4 = halo, alkyl], were prepared as  $\gamma$ -secretase inhibitors (no data). Thus title compound (II) was prepared in several steps from bicyclo[4.2.1]non-3-en-9-one, tert-Bu sulfinamide, F3CCH2NH2, POCl3/DMF, and [5-(4-fluorophenyl)-1-methyl-1H-pyrazol-3-yl]methyltriphenylphosphonium chloride.

IT 844880-01-9P

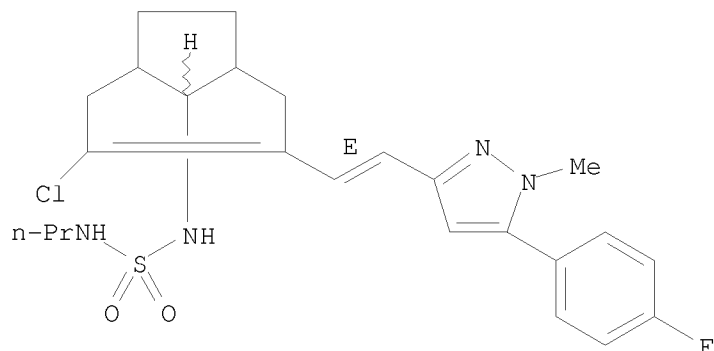
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of spirobicyclononenethiadiazole dioxides and related compds. as  $\gamma$ -secretase inhibitors)

RN 844880-01-9 CAPLUS

CN Sulfamide, N-[3-chloro-4-[(1E)-2-[5-(4-fluorophenyl)-1-methyl-1H-pyrazol-3-yl]ethenyl]bicyclo[4.2.1]non-3-en-9-yl]-N'-propyl- (CA INDEX NAME)

Double bond geometry as shown.



REFERENCE COUNT:

3

THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 2 OF 4 CAPLUS COPYRIGHT 2008 ACS on STN  
 ACCESSION NUMBER: 2003:133023 CAPLUS  
 DOCUMENT NUMBER: 138:169963  
 TITLE: Synthesis of sulfonamido-substituted bridged bicycloalkyl derivatives for control of beta-amyloid production  
 INVENTOR(S): Hannam, Joanne Claire; Harrison, Timothy; Madin, Andrew; Sparey, Timothy Jason  
 PATENT ASSIGNEE(S): Merck Sharp & Dohme Limited, UK  
 SOURCE: PCT Int. Appl., 67 pp.  
 CODEN: PIXXD2  
 DOCUMENT TYPE: Patent  
 LANGUAGE: English  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2003013506	A1	20030220	WO 2002-GB3559	20020731
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZM, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
AU 200235359	A1	20030224	AU 2002-355359	20020731
US 2004186147	A1	20040923	US 2004-484290	20040120
US 7205434	B2	20070417		
PRIORITY APPLN. INFO.:			GB 2001-19152	A 20010806
			WO 2002-GB3559	W 20020731
OTHER SOURCE(S):		MARPAT 138:169963		
GI				

\* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT \*

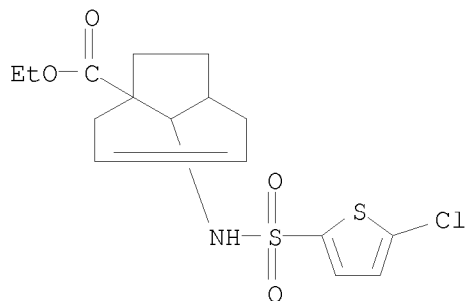
AB Title compds. I [A,B = together with the carbon atoms bonded to L1R4 and H complete a (un)substituted ring containing 5-10 carbon atoms; R1 = H, alkyl, alkenyl; R2 = H, acyl; R3 =alkyl, cycloalkyl, alkenyl, alkynyl, aryl, etc.; R4 = H, halo, aryl, heterocyclyl, CN, alkoxy, amino, etc.; L1 = bond, alkylene, etc.] are prepared For instance, Et cyclopentanone-2-carboxylate was reacted with o-xyllylene dibromide (DMF, NaOEt) and the resulting adduct treated with LDA in THF at -78° to give II. II was treated in the following manner: i. THF, H2NOH•HCl, NaOAc; ii. HOAc, H2-PtO; iii. CH2Cl3, Et3N, 5-chlorothiophenesulfonyl chloride and iv. THF, LAH to provide sulfonamide III. I modulate the production of  $\beta$ -amyloid from amyloid precursor protein and are useful in the treatment of Alzheimer's disease.

IT 497862-61-0P  
 RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)  
 (synthesis of sulfonamido-substituted bridged bicycloalkyl derivs. for control of beta-amyloid production)

RN 497862-61-0 CAPLUS

CN Bicyclo[4.2.1]non-3-ene-1-carboxylic acid, 9-[[[5-chloro-2-

thienyl)sulfonyl]amino]-, ethyl ester, (1R,6R,9S)-rel- (CA INDEX NAME)



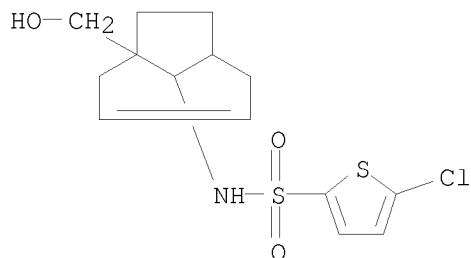
IT 497862-62-1P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(synthesis of sulfonamido-substituted bridged bicycloalkyl derivs. for control of beta-amyloid production)

RN 497862-62-1 CAPLUS

CN 2-Thiophenesulfonamide, 5-chloro-N-[(1R,6R,9S)-1-(hydroxymethyl)bicyclo[4.2.1]non-3-en-9-yl]-, rel- (CA INDEX NAME)



REFERENCE COUNT:

4

THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT



L4 ANSWER 3 OF 4 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 2002:353420 CAPLUS

DOCUMENT NUMBER: 136:369505

TITLE: Synthesis of sulfonamido-substituted bridged  
bicycloalkyl derivatives as  $\gamma$ -secretase  
inhibitors

INVENTOR(S): Collins, Ian James; Hannam, Joanne Claire; Harrison,  
Timothy; Lewis, Stephen John; Madin, Andrew; Sparey,  
Timothy Jason; Williams, Brian John

PATENT ASSIGNEE(S): Merck Sharp & Dohme Limited, UK

SOURCE: PCT Int. Appl., 151 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

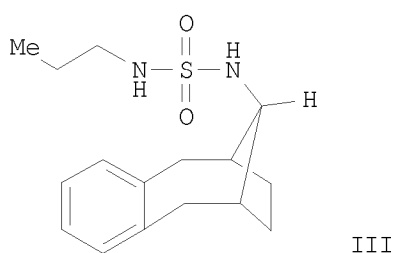
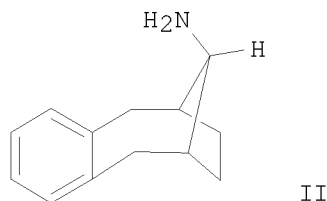
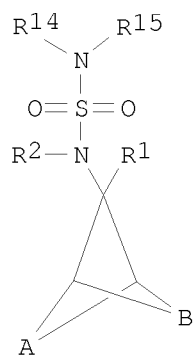
FAMILY ACC. NUM. COUNT: 2

PATENT INFORMATION:

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WO 2002036555	A1	20020510	WO 2001-GB4817	20011029
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
CA 2427206	A1	20020510	CA 2001-2427206	20011029
AU 2002010747	A	20020515	AU 2002-10747	20011029
EP 1334085	A1	20030813	EP 2001-978652	20011029
EP 1334085	B1	20050824		
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JP 2004513108	T	20040430	JP 2002-539315	20011029
JP 3880051	B2	20070214		
AT 302753	T	20050915	AT 2001-978652	20011029
ES 2248397	T3	20060316	ES 2001-978652	20011029
US 2004049038	A1	20040311	US 2003-415751	20030501
US 7138400	B2	20061121		
JP 2006241163	A	20060914	JP 2006-78136	20060322
PRIORITY APPLN. INFO.:			GB 2000-26827	A 20001102
			GB 2001-22685	A 20010920
			JP 2002-539315	A3 20011029
			WO 2001-GB4817	W 20011029

OTHER SOURCE(S): MARPAT 136:369505

GI



AB Title compds. I [A, B = (CXY)<sub>p</sub>, (CXY)<sub>q</sub>CY=CY(CXY)<sub>r</sub>, (CXY)<sub>x</sub>NR<sub>13</sub>(CXY)<sub>y</sub>, etc.; X = halo, R<sub>9</sub>, OR<sub>9</sub>, SR<sub>9</sub>, S(O)<sub>1-2</sub>R<sub>10</sub>, OSO<sub>2</sub>R<sub>9</sub>, N(R<sub>9</sub>)<sub>2</sub>, COR<sub>9</sub>, CO<sub>2</sub>R<sub>9</sub>, etc.; Y = H, alkyl or X, Y together = O, S, N-OR<sub>11</sub>, CHR<sub>11</sub>; provided neither A nor B comprises more than one CXY moiety which is other than CH<sub>2</sub>; p = 1-6; q, r, x, y = 0-2; provided that at least one of A and B comprises a chain of 2 or more atoms, such that the ring completed by A and B contains at least 5 atoms; R<sub>1</sub> = H, alk(en)yl or R<sub>1</sub> and R<sub>15</sub> together may complete a 5-, 6- or 7-membered cyclic sulfamide; R<sub>2</sub> = H, Cl, alkyl, aryl, aryl-alkyl, cycloalkyl, acyl, etc.; R<sub>9</sub> = H or R<sub>10</sub> or two R<sub>9</sub> groups together with a nitrogen atom to which they are mutually attached may complete a pyrrolidine, piperidine, piperazine, etc.; R<sub>10</sub> = alkyl, perfluoroalkyl, cycloalkyl, etc.; R<sub>11</sub> = H, alkyl, etc.; R<sub>14</sub> = H, alkyl, etc.; R<sub>15</sub> = H, alkyl or R<sub>15</sub> and R<sub>1</sub> together complete a 5-, 6- or 7-membered cyclic sulfamide] were prepared Over 150 synthetic examples were disclosed. For instance, prior art amine II was sulfonylated with catechol sulfate and the intermediate treated with n-PrNH<sub>2</sub> (dioxane, 80°C, 1 h) to give III. I are inhibitors of  $\gamma$ -secretase and are cytotoxic with EC<sub>50</sub> < 10  $\mu$ M for human app695. Compds. of the invention are useful in the treatment of and/or prevention of Alzheimer's disease.

IT 423167-24-2P

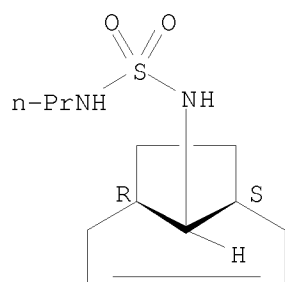
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(drug; synthesis of sulfonamido-substituted bridged bicycloalkyl derivs. as  $\gamma$ -secretase inhibitors)

RN 423167-24-2 CAPLUS

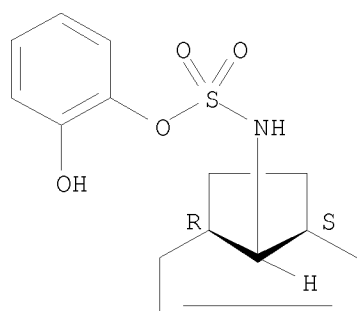
CN Sulfamide, N-(9-syn)-bicyclo[4.2.1]non-3-en-9-yl-N'-propyl- (CA INDEX NAME)

Relative stereochemistry.



IT 423168-72-3P  
 RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT  
 (Reactant or reagent)  
 (intermediate; synthesis of sulfonamido-substituted bridged  
 bicycloalkyl derivs. as  $\gamma$ -secretase inhibitors)  
 RN 423168-72-3 CAPLUS  
 CN Sulfamic acid, [(9-syn)-bicyclo[4.2.1]non-3-en-9-yl]-, 2-hydroxyphenyl  
 ester (9CI) (CA INDEX NAME)

Relative stereochemistry.



REFERENCE COUNT: 1 THERE ARE 1 CITED REFERENCES AVAILABLE FOR THIS  
 RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 4 OF 4 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 2001:713298 CAPLUS

DOCUMENT NUMBER: 135:272746

TITLE: Synthesis of sulfonamido-substituted bridged  
bicycloalkyl derivatives as  $\gamma$ -secretase  
inhibitors

INVENTOR(S): Belanger, Patrice Charles; Collins, Ian James; Hannam,  
Joanne Claire; Harrison, Timothy; Lewis, Stephen John;  
Madin, Andrew; McIver, Edward Giles; Nadin, Alan John;  
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PATENT ASSIGNEE(S): Merck Sharp & Dohme Limited, UK; Merck Frosst Canada +  
Co.

SOURCE: PCT Int. Appl., 199 pp.

CODEN: PIXXD2

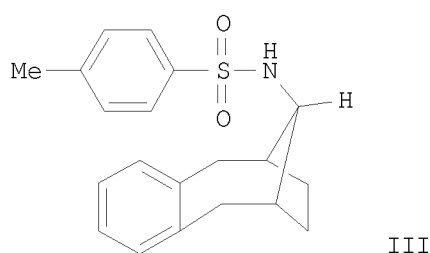
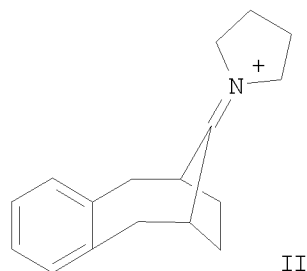
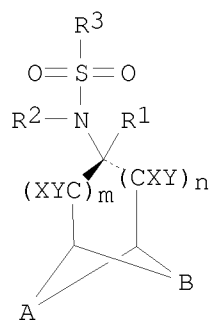
DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 2

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2001070677	A1	20010927	WO 2001-GB1154	20010315
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW				
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CA 2404125	A1	20010927	CA 2001-2404125	20010315
EP 1268412	A1	20030102	EP 2001-911940	20010315
EP 1268412	B1	20061122		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
JP 2003528076	T	20030924	JP 2001-568889	20010315
AT 346039	T	20061215	AT 2001-911940	20010315
ES 2275657	T3	20070616	ES 2001-911940	20010315
US 2004029862	A1	20040212	US 2003-239233	20030205
JP 2006241163	A	20060914	JP 2006-78136	20060322
PRIORITY APPLN. INFO.:			GB 2000-6717	A 20000320
			GB 2000-26827	A 20001102
			WO 2001-GB1154	W 20010315
			GB 2001-22685	A 20010920
			JP 2002-539315	A3 20011029
OTHER SOURCE(S):	MARPAT 135:272746			
GI				



AB Title compds. I [A, B = (CXY)p; (CXY)qCY:CY(CXY)r; (CXY)xNR13(CXY)y; etc.; X = halo, alkoxy, sulf(a/i/o)nyl, amino, acyl, etc.; Y = H, alkyl; or X and Y together represent :O, :S, :N-OR, :CH; provided neither A nor B comprises more than one -CXY-moiety which is other than CH; Z completes a (non)aromatic ring system of 5 to 10 atoms, of which 0 to 3 are selected from N, O and S and the remainder are C; Z1 completes a nonarom. ring system of 5 to 10 atoms, of which 0 to 3 are independently selected from O, N and S and the remainder are C; Z2 completes a 5- or 6-membered heteroaryl ring; m, n = 0 - 1; p = 1 - 6; q, r, = 0 - 2; x, y = 0 - 2; provided that when m = n = 0, at least one of A and B comprises a chain of 2 or more atoms, such that the ring completed by A and B contains at least 5 atoms; R1 = H, alkyl, alkenyl; R2 = H, alkyl, aryl(alkyl), cycloalkyl, acyl; R3 = (cyclo)alkyl, alkenyl, alkynyl, (hetero)arylalkyl, etc.] were prepared Over 270 synthetic examples were disclosed. For instance, 1,2-Bis(bromomethyl)benzene was added to 1-cyclopent-1-enylpyrrolidine (CH3CN, (i-Pr)2NEt) to give iminium bromide II. II was converted to the oxime (EtOHaq, NH2OH, NaOAc); the oxime was reduced (HOAc, PtO2, H2 @ 30 psi, 2 h) and the resulting amine sulfonated (DCM, pyridine, p-TsCl, 16 h) to give III. I are inhibitors of  $\gamma$ -secretase and are cytotoxic with EC50 < 10  $\mu$ M for human app695. Compds. of the invention are useful in the treatment of and/or prevention of Alzheimer's disease.

IT 362654-13-5P 362654-14-6P 362654-15-7P  
362654-16-8P 362654-17-9P 362654-66-8P  
362654-67-9P 362654-68-0P

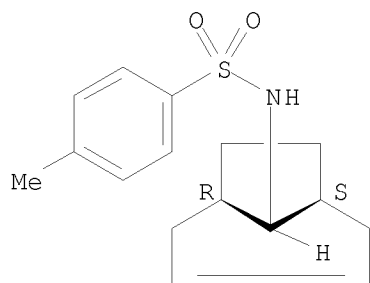
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(drug; synthesis of sulfonamido-substituted bridged bicycloalkyl derivs. as  $\gamma$ -secretase inhibitors)

RN 362654-13-5 CAPLUS

CN Benzenesulfonamide, N-(9-syn)-bicyclo[4.2.1]non-3-en-9-yl-4-methyl- (CA INDEX NAME)

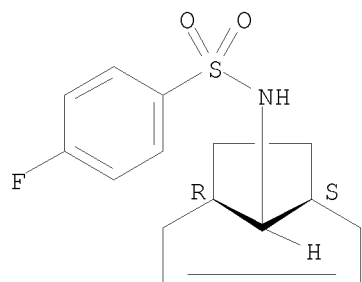
Relative stereochemistry.



RN 362654-14-6 CAPLUS

CN Benzenesulfonamide, N-(9-syn)-bicyclo[4.2.1]non-3-en-9-yl-4-fluoro- (CA INDEX NAME)

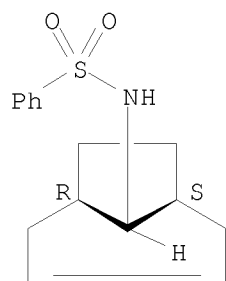
Relative stereochemistry.



RN 362654-15-7 CAPLUS

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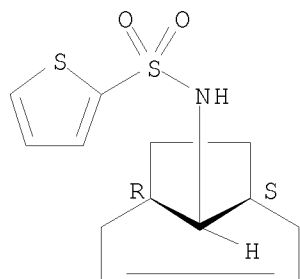
Relative stereochemistry.



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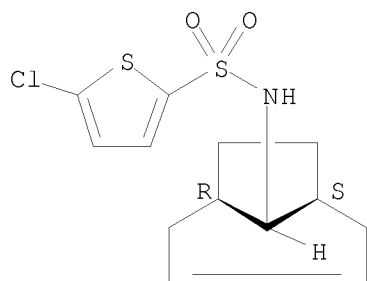
CN 2-Thiophenesulfonamide, N-(9-syn)-bicyclo[4.2.1]non-3-en-9-yl- (CA INDEX NAME)

Relative stereochemistry.



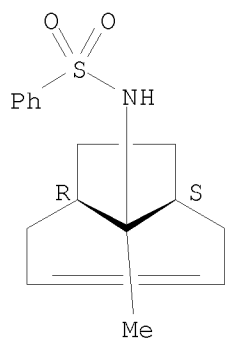
RN 362654-17-9 CAPLUS  
 CN 2-Thiophenesulfonamide, N-(9-syn)-bicyclo[4.2.1]non-3-en-9-yl-5-chloro-  
 (CA INDEX NAME)

Relative stereochemistry.



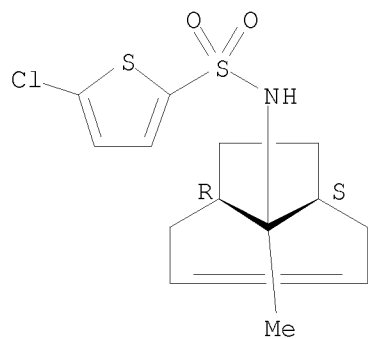
RN 362654-66-8 CAPLUS  
 CN Benzenesulfonamide, N-[(9S)-9-methylbicyclo[4.2.1]non-3-en-9-yl]- (CA  
 INDEX NAME)

Relative stereochemistry.



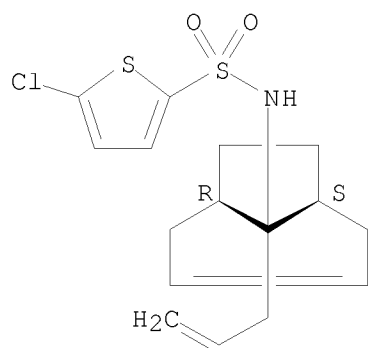
RN 362654-67-9 CAPLUS  
 CN 2-Thiophenesulfonamide, 5-chloro-N-[(9S)-9-methylbicyclo[4.2.1]non-3-en-  
 9-yl]- (CA INDEX NAME)

Relative stereochemistry.



RN 362654-68-0 CAPLUS  
 CN 2-Thiophenesulfonamide, 5-chloro-N-[(9-syn)-9-(2-propenyl)bicyclo[4.2.1]non-3-en-9-yl]- (9CI) (CA INDEX NAME)

Relative stereochemistry.



REFERENCE COUNT: 13 THERE ARE 13 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT



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COST IN U.S. DOLLARS

SINCE FILE

TOTAL

ENTRY

SESSION

FULL ESTIMATED COST

22.76

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DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)

SINCE FILE

TOTAL

ENTRY

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